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NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
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NEWS	6	DEC	01	ChemPort single article sales feature unavailable
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				will change in 2009 for STN-Columbus and STN-Tokyo
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NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	13	FEB	06	Patent sequence location (PSL) data added to USGENE
NEWS	14	FEB	10	COMPENDEX reloaded and enhanced
NEWS	15	FEB		WTEXTILES reloaded and enhanced
NEWS		FEB		New patent-examiner citations in 300,000 CA/CAplus
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NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	10	FEB	23	MEDLINE now offers more precise author group fields
CMTN	13	red	23	and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
NEWS	22	FEB	25	STN patent clusters USGENE enhanced with patent family and legal status
NEWS	23	MAR	06	display data from INPADOCDB INPADOCDB and INPAFAMDB enhanced with new display
				formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
MERGO	25	Mar	11	
NEWS		MAR		ESBIOBASE reloaded and enhanced
NEWS	∠6	MAR	∠U	CAS databases on STN enhanced with new super role

for nanomaterial substances

NEWS 27 MAR 23 CA/CAplus enhanced with more than 250,000 patent equivalents from China

NEWS 28 MAR 30 IMSPATENTS reloaded and enhanced

NEWS 29 APR 03 CAS coverage of exemplified prophetic substances enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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ENTRY SESSION
0.22 0.22

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2 DICTIONARY FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2

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=>

24 12 13

chain nodes :

7 8 12 13 14 17 19

ring nodes :

1 2 3 4 5 6 10 11 20

chain bonds :

4-17 5-7 7-8 8-20 10-11 11-12 12-13 12-14 17-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-20

exact/norm bonds :

4-17 5-7 7-8 10-11 10-20 12-13 12-14 17-19

exact bonds : 8-20 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,O,S

G2:0,S

G3:Cb, Cy, Hy

Match level :

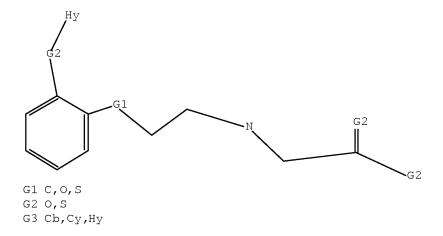
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 17:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.48 0.70

FULL ESTIMATED COST

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=> s L1 SSS Full REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

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FULL SEARCH INITIATED 07:50:17 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 22641 TO ITERATE

100.0% PROCESSED 22641 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.02

L2 0 SEA SSS FUL L1

L3 0 L2

=> file marpat

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SINCE FILE TOTAL ENTRY SESSION 0.50 187.58

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FILE CONTENT: 1961-PRESENT VOL 150 ISS 13 (20090403/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090048322 19 FEB 2009 DE 102007039155 19 FEB 2009 2022798 11 FEB 2009 EP JP 2009035500 19 FEB 2009 2009024087 26 FEB 2009 WO 2451715 11 FEB 2009 GB 2920023 20 FEB 2009 FR RU 2346937 20 FEB 2009

2618420 24 JAN 2009

The new MARPAT User Guide is now available at: http://www.cas.org/support/stngen/stndoc/marpat.html.

=> s L1 SSS Full

CA

FULL SEARCH INITIATED 07:50:27 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 80787 TO ITERATE

56.9%	PROCESSED	45957	ITERATIONS				11	ANSWERS
85.0%	PROCESSED	68640	ITERATIONS				27	ANSWERS
97.2%	PROCESSED	78492	ITERATIONS				34	ANSWERS
99.1%	PROCESSED	80028	ITERATIONS	(1	INCOMPLETE)	37	ANSWERS

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99.7% PROCESSED
                 80536 ITERATIONS ( 1 INCOMPLETE)
                                                              37 ANSWERS
 99.7% PROCESSED
                  80536 ITERATIONS (
                                         1 INCOMPLETE)
                                                             37 ANSWERS
 99.9% PROCESSED
                  80703 ITERATIONS (
                                         2 INCOMPLETE)
                                                             38 ANSWERS
100.0% PROCESSED
                 80787 ITERATIONS ( 2 INCOMPLETE)
                                                            38 ANSWERS
SEARCH TIME: 00.02.04
            38 SEA SSS FUL L1
L4
=> d ibib abs histr 1-
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CBIB ---- AN, plus Compressed Bibliographic Data
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FAM ----- AN, PI and PRAI in table, plus Patent Family data
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IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ---- PI, SO
SAM ----- CC, SX, TI, ST, IT, and FQHIT
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         no answer numbers)
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IABS ---- ABS, indented with text labels
IALL ---- ALL, indented with text labels
IBIB ---- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ---- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit text terms and the Markush
          structures containing the query structure
FHIT ---- Fields containing the first hit text terms and the first
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QHIT ---- Fields containing query focus hit text terms and the
         Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
         the first Markush structures containing the query structure
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FILE 'REGISTRY' ENTERED AT 07:49:55 ON 06 APR 2009 L1 STRUCTURE UPLOADED

FILE 'CAPLUS' ENTERED AT 07:50:12 ON 06 APR 2009 S L1

FILE 'REGISTRY' ENTERED AT 07:50:17 ON 06 APR 2009 L2 0 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 07:50:18 ON 06 APR 2009 L3 0 S L2 SSS FULL

FILE 'MARPAT' ENTERED AT 07:50:24 ON 06 APR 2009 L4 38 S L1 SSS FULL

=> file caplus
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SINCE FILE TOTAL
ENTRY SESSION
132.42 320.00

FULL ESTIMATED COST

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=> s L4

L5 38 L4

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 38 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:87239 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 150:168325

TITLE: Preparation of novel therapeutic compounds containing

heterocylic carboxamide cores for use as kinase

inhibitors

Breinlinger, Eric C.; Cusack, Kevin P.; Hobson, Adrian INVENTOR(S):

D.; Li, Bin; Gordon, Thomas D.; Stoffel, Robert H.;

Wallace, Grier A.; Gronsgaard, Pintipa; Wang, Lu

PATENT ASSIGNEE(S): Abbott Laboratories, USA SOURCE: PCT Int. Appl., 224pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

		PATENT NO.					D	DATE			APPL	ICAT				D.	ATE	
		2009				A2	_	2009	0122		WO 2					2	0800	715
	WO	2009	0118	50		A3		2009	0305									
		W:	ΑE,	AG,	AL,	ΑM,	ΑO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK,				MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
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			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
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			ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AP,	EA,	EP,	OA			
	· · ·					A1		2009	0312		US 2	008-	2183	64		2	0800	715
PRIO:	RIORITY APPLN. INFO.:										US 2	007-	9596	31P		P 2	0070	716
OTHE	HER SOURCE(S):					MAR:	PAT	150:	1683.	25								
GT	, - , -																	

$$C13C$$
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 O
 $C1$

AB Title compds. I [Y = N or CH; A = (un)substituted heteroaryl or heterocyclyl; L1 and L2 independently = bond, C(0)NH, NHC(0), SO2NH, NHSO2, etc., provided that either L1 or L2 is a bond but L1 and L2 are not bonds at the same time; D = aryl, heteroaryl, heterocyclyl and cycloalkyl; R1 and R2 independently = halo, CF3, CN, OH, (un)substituted alkyl, etc.; R3 independently = CF3, CCl3, (un)substituted alkyl, etc.; m, n and p independently = 0-2], and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors (no data). Thus, e.g., II was prepared by amidation of 1-phenyl-5-(trichloromethyl)-1H-1,2,4-triazole-3- carboxylic acid (preparation given) with 2-chloroaniline. As kinase inhibitors, I should be useful in treating certain conditions and diseases, especially inflammatory conditions and diseases as well as proliferative disorders such as cancer.

L5 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:53991 CAPLUS Full-text

DOCUMENT NUMBER: 150:144515

TITLE: Preparation of malonamide derivatives, especially

Ι

N-[1-[(hetero)/aryl-aryl]ethyl]-N'-(4-

carbamimidoyl(hetero)/arylmalonamides, as factor VIIa

inhibitors for treating cardiovascular diseases,

especially thrombosis and restenosis

INVENTOR(S): Steinhagen, Henning; Szillat, Hauke; Follmann, Markus;

Kirsch, Reinhard; Wehner, Volkmar; Matter, Hans; Lorenz, Martin; Neuenschwander, Kent W.; Scotese,

Anthony C.

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr. SOURCE: PCT Int. Appl., 129pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT I	NO.			KINI)	DATE			APPL	ICAT:	I NOI	. O <i>l</i> .		DZ	ATE	
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WO	2009007015				A1		2009	0115		WO 2	008-1	EP518	37		20	080C	626
	W:	ΑE,	AG,	AL,	ΑM,	AO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
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	FI, GB,		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO::

RARPAT 150:144515

GI

AΒ The invention is related to the preparation of title compds. I [T1, T2 =independently N, (un) substituted CH; D1, D2 = independently H, carbonylalkyl, arylalkylcarbonyl, COO-alkyl, etc.; D1 = H when D2 = OH, OCO-alkyl, arylalkylcarbonyloxy, alkylcarbonyloxyalkyloxycarbonyl; R1, R2 = independently H, OH, arylalkyl(oxy/sulfanyl/sulfonyl/amino)alkyl; R7-8, R14-16 = independently H, alkyl, OH, alkoxy, halo, NH2; X = halo, H, perfluoroalkyl, perfluoroalkoxy, etc.; Y = NR4, CO, CONR4, NR4CO, O, S(O)0-2, S(O)0-2NR4; Z = alkynyl, perfluoroalkyl, arylalkyl; or Y = Z = H and X = cyanoalkyl, perfluoroalkyl, O, S(O)0-2-perfluoroalkyl, (un)substituted heterocyclylalkyl; Ph substituted by NR3S(0)p; R3 = H, alkyl; p = 1-2], their stereoisomers and their physiol. tolerable salts as inhibitors of the blood clotting enzymes, especially factor VIIa, for the therapy and prophylaxis of cardiovascular disorders such as thromboembolic diseases or restenoses. Thus, reacting 2methoxyethanol with 4-fluoro-3-trifluoromethylacetophenone, followed by reductive amination of the ketone in the presence of NH4CO2Me/NaBH3(CN), acylation of the N-(4-Carbamimidoylphenyl) malonamic acid with the amine intermediate and separation of the enantiomers gave II. In a chromogenic assay, II inhibited factor VIIa with Ki = $0.024 \mu M$.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1128200 CAPLUS <u>Full-text</u> DOCUMENT NUMBER: 149:355929

TITLE: Nitrogen-containing heterocyclic organic compounds as

inhibitors of the hedgehog pathway and their preparation and use in the treatment of diseases

INVENTOR(S): Dai, Miao; He, Feng; Jain, Rishi Kumar; Karki, Rajesh;

Kelleher, Joseph, III; Lei, John; Llamas, Luis; Mcewan, Michael A.; Miller-Moslin, Karen; Perez, Lawrence Blas; Peukert, Stefan; Yusuff, Naeem

PATENT ASSIGNEE(S): Novartis A.-G., Switz. SOURCE: PCT Int. Appl., 150pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PAT	PATENT NO.					D	DATE]	APPL	ICAT	ION I	.OV		D	ATE	
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		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK,				MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
	ME, MG, MK, PL, PT, RO,				RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
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	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
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		TG,	BW,	GH,	GM,	KE,	LS,	MW.	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW.
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OTHER SC	URCE	(S):			MAR:	PAT	149:	3559:	29								

AB The disclosure relates to compds. relating to the diagnosis and treatment of pathologies relating to the Hedgehog pathway, including but not limited to tumor formation, cancer, neoplasia, and non-malignant hyperproliferative disorders; specifically relating to compds. of formula I. Compds. of formula I wherein R1 is (un)substituted phenyl; R2 is (un)substituted 5- to 7-membered monocyclic (non)aromatic nitrogen-heterocycle, and (un)substituted 8- to 12-membered fused (non)aromatic nitrogen-heterocycle; L is lower alkyl, CH2O, CH2CH2O, CH2S, CH2CH2S, CH2NH, CH2CH2NH, CH2OCH2, CH2SCH2, and CH2NHCH2; each

X is N and CH, and at least one of X is N; Y is bond, CH2, CO, and SO2; R3 is (un)substituted aryl, (un)substituted 5- to 7-membered monocyclic (non)aromatic nitrogen-heterocycle, and (un)substituted 8- to 12-membered fused (non)aromatic nitrogen-heterocycle; Z is H, (un)substituted lower alkyl, (un)substituted lower alkoxy, oxo, CO2H and derivs, and CN; m and n are independently 0, 1, 2, and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amination of 1-benzyl-4-chlorophthalazine with 6-(piperazin-1-yl)nicotinonitrile. All the invention compds. were evaluated for their hedgehog pathway inhibitory activity (data given).

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1073477 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 149:324040

TITLE: Theramutein modulators

INVENTOR(S): Housey, Gerard M.

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 112pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATENT	NO.			KIN:	D	DATE		j	APPL:	ICAT:	ION I	. OV		D.	ATE	
_ W	0 2008	1062	02		A1	_	2008	0904	1	WO 2	 008-1	 JS26	 56		2	0080	227
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
		KG, KM, KN, ME. MG. MK.			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
	ME, MG, MK,				MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,
	PL, PT, RO,				RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	ΗU,
		ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
	TG, BW, GH,				GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM									
PRIORI	TY APP	LN.	INFO	.:					1	US 2	007-	9041	15P		P 2	0070	227

OTHER SOURCE(S): MARPAT 149:324040

AB This invention relates to agents that are inhibitors or activators of variant forms of endogenous proteins and novel methods of identifying such variants. Of particular interest are inhibitors and activators of endogenous protein variants, encoded by genes which have mutated, which variants often arise or are at least first identified as having arisen following exposure to a chemical agent which is known to be an inhibitor or activator of the corresponding unmutated endogenous protein.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:973857 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 149:268050
TITLE: Preparation of

2-[4-(imidazolyl)phenyl]vinylheterocycles which selectively attenuate production of β -amyloid A β (1-42)

INVENTOR(S): Fischer, Christian; Munoz, Benito; Rivkin, Alexey A.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 45pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAI	ENT	NO.			KIN	D	DATE]	APPL	ICAT	ION 1	NO.		D	ATE	
	WO	2008	0975.	38		A1	_	2008	0814	1	——— WO 2	008-1	JS15	 03		2	0080:	205
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME, MG, MK,			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
		PL, PT, RO,				RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW	•	•	•
		RW:	•	•	•	•		•	DE,	•	•	•	•	•		GR,	HR,	HU,
			•		•		•	•	MC,		•							•
			•	•	•	•	•	•	CM,	•	•	•	•	•	•	•	•	•
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	TG, BW, GH AM, AZ, BY							•	•	•	•	,	,	/	,	,		,
PRIO	RTTY	APP	•	•		,	,	,	_ ,	•	US 2	007-	9002	00P		P 2.1	0070	208
	OTHER SOURCE(S):						PAT	149.	2680		-							
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$$\mathbb{R}^{20} \xrightarrow{\text{Het}}$$

GΙ

Title compds. [I; R1 = H, alkyl, cycloalkyl, alkenyl; R2 = alkyl, cycloalkyl, AΒ alkenyl; Het = (substituted) (aryl-fused) 5-6 membered unsatd. heterocyclyl], were prepared Thus, (E)-3-[3-methoxy-4-(4-methylimidazol-1-yl)phenyl]acrylicacid and 4-tert-butylbenzene-1,2-diamine were heated in ethylene glycol for 3 h at 185° and overnight at 170° to give 6-tert-butyl-2-[(E)-2-[3-methoxy-4-(4methylimidazol-1- yl)phenyl]vinyl]-1H-benzimidazole trifluoroacetate. I inhibited γ -secretase with IC50 values of <10 μM .

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:12248 CAPLUS Full-text

DOCUMENT NUMBER: 148:121726

Preparation of quinoline and quinazoline derivatives TITLE:

as inhibitors of VEGF receptor and HGF receptor

signaling

INVENTOR(S): Raeppel, Stephane; Claridge, Stephen William;

Saavedra, Oscar Mario; Vaisburg, Arkadii; Deziel, Robert; Zhan, Lijie; Mannion, Michael; Gaudette,

Frederic; Zhou, Nancy Z.; Isakovic, Ljubomir

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 122pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
	US	2008	0004	273		A1	_	2008	0103		US 2	007-	 8079	07		2	0070	530
	WO.	2008	0352	09		A2		2008	0327	,	WO 2	007-	IB32	64		2	0070	530
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
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			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,
			KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG, MK, MN,		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	
			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		BJ, CF, CG GH, GM, KE				LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM									
)]	RITY	APP:	LN.	INFO	.:	·	·				US 2	006-	8034	12P		P 2	0060	530
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PRIOR

OTHER SOURCE(S):

MARPAT 148:121726

GΙ

$$A = Z \qquad V \qquad E \qquad X_1 \qquad X_2 \qquad X_1 \qquad X_1 \qquad X_1 \qquad X_2 \qquad X_3 \qquad II$$

$$MeO \qquad MeO \qquad N \qquad III$$

AΒ The invention relates to compds. of formula I that inhibit protein tyrosine kinase activity, in particular that inhibit the protein tyrosine kinase activity of growth factor receptors, resulting in the inhibition of receptor signaling, for example, the inhibition of VEGF receptor signaling and HGF receptor signaling. Compds. of formula I [A = II (A1 = fused 6-membered aryl)]or heteroaryl; A2 and A3 independently = N or CR107, wherein R107 = H, halo, alkyl, alkenyl, etc.; D = H, halo, CN, NO2, etc.; m = 0-4); V =(un) substituted 5- to 7-membered cycloalkyl, aryl, heterocylic or heteroaryl

ring system; Z = O, S, S(O), SO2, CH2, etc.; E = O, NH, N-alkyl, CH2NH, NHCH2, etc.; X = O, S, NH, N-alkyl, N-OH, etc.; solid/dash line = single or double bond; X1 = O, S, CH2, NH, etc., when solid/dash line = double bond, or X1 = H, halo, CN, NH2, trihalomethyl, etc., when solid/dash = single bond; L and L1 independently = CH, N, C(halo), C(alkyl), etc.; or L1 = O and W = absent; L2 and G = CH2, NH, O, S, C(O), C(S), etc.; B = (L4)n, wherein L4 = absent, CH2, NH, O, S, C(O), C(S), etc.; n = 0.5; W = (un)substituted 5- to 10-membered cycloalkyl, aryl, heterocylic or heteroaryl ring system; R14, R15, R16 and R17 independently = H, halo, trihalomethyl, CN, NO2, NH2, etc.], and their Noxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs and complexes thereof, are prepared and disclosed. Thus, e.g., III was prepared in a multi-step synthesis starting from 3,4-dimethoxybenzenamine with 5-(methoxymethylene)-2,2-dimethyl-1,3-dioxane-4,6-dione. The exemplar compds. showed inhibition of recombinant human c-Met/HGF receptor and VEGF receptor enzymic activity in in vitro receptor tyrosine kinase assays. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

ANSWER 7 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1361792 CAPLUS Full-text

DOCUMENT NUMBER: 148:1138

TITLE: Methods using retinoic acid receptor (RAR) antagonists

or inverse agonists for treating chemotherapy and

radiation therapy side effects

Chandraratna, Roshantha A.; Yuan, Yang-Dar INVENTOR(S):

Vitae Pharmaceuticals, Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 74pp.

CODEN: PIXXD2

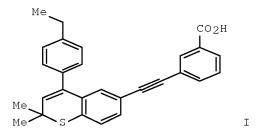
DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
	2007				A2 A3		2007 2008		,	WO 2	007-	 US11	730		2	0070	516
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,
		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
		KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,
		MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,
		RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,
	TT, TZ, U			UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW: AT, BE, BO			BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$ ext{ML}$,	MR,	ΝE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	${\sf TZ}$,	UG,	ZM,	ZW,	ΑM,	AZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑP,	EA,	EP,	OA					
CA	2651	487			A1		2007	1129	1	CA 2	007-	2651	487		2	0070	516
EP	2026	778			A2		2009	0225		EP 2	007-	7949.	36		2	0070	516
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,
	AL, BA, HF					RS											
PRIORIT	Y APP	LN.	INFO	.:							006-					0060	
									,	WO 2	007-	US11	730	Ī	W 2	0070	516
OTHER S	OURCE	(S):			MAR:	PAT	148:	1138									

OTHER SOURCE(S):



AB The invention discloses a method for treating chemotherapy or radiation therapy side effects in a mammal undergoing chemotherapy and/or radiation therapy, the method comprising administering a therapeutically effective amount of a RAR antagonist or inverse agonist which binds to receptors of the RAR α , RAR β and RAR γ subtypes. Such side effects include chemoradiotherapy-induced alopecia, chemoradiotherapy-induced thrombocytopenia, chemoradiotherapy-induced leucopenia and chemoradiotherapy-induced neutropenia. Preparation of VTP 194310 (I) is described.

L5 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1148198 CAPLUS Full-text

DOCUMENT NUMBER: 147:420115

TITLE: Therapeutic Gastrodia extracts

INVENTOR(S): Chern, Yijuang; Lin, Yun-Lian; Huang, Nai-Kuei

PATENT ASSIGNEE(S): Academia Sinica, Taiwan SOURCE: U.S. Pat. Appl. Publ., 30pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 20070237840	A1	20071011	US 2006-400064		20060407
US 7351434	B2	20080401			
CN 101143192	A	20080319	CN 2007-10091094		20070409
US 20080176816	A1	20080724	US 2007-999637		20071206
PRIORITY APPLN. INFO.:			US 2006-400064	Α	20060407
OTHER SOURCE(S):	MARPAT	147:420115			

AB This document describes compds., exts., and pharmaceutical compns. relating to Gastrodia spp., and methods for the treatment subjects having metabolic disorders or medical conditions such as Huntington's disease, a trinucleotide repeat disease or abnormal blood glucose levels.

L5 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1090756 CAPLUS Full-text

DOCUMENT NUMBER: 147:406815

TITLE: Preparation of S1P receptor modulating compounds in

particular aryl-substituted 2-oxoimidazolidine

derivatives as modulator of S1P receptor

INVENTOR(S): Saha, Ashis; Yu, Xiang Y.; Lobera, Mercedes; Lin,

Jian; Cheruku, Srinivasa R.; Becker, Oren M.; Marantz,

Yael; Schutz, Nili

PATENT ASSIGNEE(S): Epix Delaware, Inc., USA

SOURCE: PCT Int. Appl., 88pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
	2007				A2		2007			WO 2	007-	 US70	 37		2	0070	321
WO	2007	1093	30		А3		2007	1122									
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	CA,
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		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚM,
		KN,	ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
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	RW: AT, BE, BG			BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
	IS, IT, LT																
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		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
					•		ΤJ,					•	·	·	·	·	·
AU	2007						2007						74		2	0070	321
CA	2646	469			A1		2007	0927		CA 2	007-	2646	469		2	0070	321
US	2008	0015	177		A1		2008	0117		US 2	007-	7263	56		2	0070	321
	2010				A2		2009	0107		EP 2	007-	7536	47		2	0070	321
	R:	AT,	BE,	BG,	CH,		CZ,									HU,	IE,
	IS, IT, LI AL, BA, HR						,	,	,	,	,	,	,	~_,	~ _ ,	,	,
PRIORIT	IORITY APPLN. INFO.:									US 2	006-	7845	48P		P 2	0060	321
111101111										WO 2						0070	
OTHER S	HER SOURCE(S):						147:	4068		2	00,	20,0	. ,			00,0	<i></i>

 $R1 - (CH_2)q$ B $CH_2)p$ A Z-Y-X CO_2H CO_2H

The invention relates to compds. that have activity as sphingosine-1-phosphate (S1P) receptor modulating agents and the use of such compds. to treat diseases associated with inappropriate S1P receptor activity. Compds. of formula I [A = (un)substituted aryl or heteroaryl; B = N-containing 5- to 6-membered heterocyclyl; X = CO2H, POH2, SO3H, SO2NH2, CONHSO3H and their derivs. or 1H-tetrazol-5-yl; Y = bond or (un)substituted (a)cyclic amine; Z = 0, NH and derivs., S, S0, S02, S02NH and derivs., C0, CS, direct bond, etc.; p and q independently = 0-4], and their pharmaceutically acceptable salts, are

prepared and disclosed as modulator of S1P receptor. Thus, e.g., II was prepared by the reaction of Me 4-aminobenzoate with 2-chloroethylisocyante followed by cyclization to generate intermediate Me 4-(2-oxoimidazolidin-1-y1)benzoate, which underwent condensation with 1-tert-buty1-4-iodobenzene, hydrolysis, reduction and reductive amination with azetidine-3-carboxylic acid to give II. No detailed bioassays and biodata were given.

L5 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1064140 CAPLUS Full-text

DOCUMENT NUMBER: 147:380334

TITLE: Substrates and internal standards for multiplex mass

spectrometric detection of lysosomal enzymes, and use

for diagnosis of lysosomal storage diseases

INVENTOR(S): Cerda, Blas

PATENT ASSIGNEE(S): Perkinelmer Las, Inc., USA

SOURCE: PCT Int. Appl., 35pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIN		DATE			APPL					D.	ATE	
	2007				A2		2007	0920							2	0070	313
WO	2007																
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
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AU	2007	2265	82		A1		2007	0920		AU 2	007-	2265	82		2	0070	313
CA	2646	505			A1		2007	0920		CA 2	007-	2646	505		2	0070	313
EP	1999	270			A2		2008	1210		EP 2	007-	7584	46		2	0070	313
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US	2009	0068	634		A1		2009	0312		US 2	008-	2102	62		2	0800	915
PRIORIT										US 2						0060	313
										WO 2						0070	313

OTHER SOURCE(S): MARPAT 147:380334

AB The present invention relates to multiplex assays and reagents for the quantification of the activity of lysosomal enzymes using mass spectrometry. An inventive substrate is provided which includes a substrate compound of formula A - B1 - B2 - B3: wherein A is a sugar moiety; B1 is a linker moiety allowing the conjugation of moiety A and the remaining structure of the substrate; B2 contains a permanently charged element such as a quaternary ammonium group so as to increase proton affinities and ionization efficiencies for mass spectrometry anal.; and B3 of various carbon length conferring specificities to targeted enzymes. Also provided is a process to detect lysosomal storage diseases by contacting a sample with the inventive substrate

along with an internal standard which is isotope-labeled analog of the product cleaved by a targeted enzyme upon the substrate.

L5 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:993243 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 147:322859

TITLE: Process for preparation of radiolabeled

3-cyanoquinoline derivatives

INVENTOR(S): Olszewski, John David; May, Michael K.; Berger, Dan

Maarten

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 29pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070208164	A1	20070906	US 2007-704426	20070209
PRIORITY APPLN. INFO.:			US 2006-777391P P	20060227

OTHER SOURCE(S): CASREACT 147:322859; MARPAT 147:322859

AB The present invention pertains to a process for the preparation of radiolabeled 3-[14C]cyanoquinoline derivs. and intermediates thereof. For example, 4-[[3-chloro-4-[(1-methyl-1H-imidazol-2-yl)thio]phenyl]amino]-6-methoxy-7-[4-(pyrrolidin-1-yl)piperidin-1-yl]quinoline-3-[14C]carbonitrile was prepared from a multi-step synthesis. 14C was introduced by reacting an intermediate, 2-[[(dimethylamino)methylene]amino]-5-methoxy-4-(phenylmethoxy)-benzoic acid Me ester, with [14C]cyanoacetic acid. 3-Cyanoquinoline derivs. are known to be potent chemo-agents, and such radiolabeled mols. are useful because they allow for tracing the mol. in physiol. processes occurring in living organisms.

L5 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:933594 CAPLUS Full-text

DOCUMENT NUMBER: 147:301170

TITLE: Preparation of benzazole derivatives as Aurora kinase

inhibitors

INVENTOR(S): Mjalli, Adnan M. M.; Grella, Brian S.; Subramanian,

Govindan; Arimilli, Murty N.; Gopalaswamy, Ramesh; Andrews, Robert C.; Davis, Stephen; Guo, Xiaochuan;

Zhu, Jeff

PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 141pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAI	ENT	NO.			KIN:	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
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WO	2007	0951	24		A2		2007	0823		WO 2	007-	JS35	79		2	00702	209
WO	2007	0951	24		А3		2007	1101									
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GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,

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             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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                                            US 2007-704431
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                                20081105
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     EP 1987028
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PRIORITY APPLN. INFO.:
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                                             US 2006-791187P
                                                                 Ρ
                                                                    20060411
                                             WO 2007-US3579
                                                                    20070209
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OTHER SOURCE(S): MARPAT 147:301170

$$(Q-A)p$$
 X
 E
 $(R^1)q$
 X
 E
 G^2

Title compds. I [X = NH, O or S; E = CH2, NH, O or S; G1 and G2 independently = (un)substituted aryl, heteroaryl, fused arylcycloalkyl, etc.; L1 = bond, CH2, O, OCH2, etc.; A = bond, O, S, SO2, etc.; Q = (un)substituted heteroaryl, heterocyclyl, fused cycloalkylheteroaryl, etc.; R1 = cycloalkyl, CN, NO2, halo, etc.; p = 0-1; q = 0-2], and their pharmaceutically acceptable salts, are prepared and disclosed as Aurora kinase inhibitors. Thus, e.g., II was prepared via reaction of 3-isothiocyanatoisoquinoline (preparation given) with Me 3,4-diaminobenzoate followed by cyclization to generate intermediate 2-[(isoquinolin-3-yl)amino]-1H-benzimidazole-5-carboxylic acid Me ester which undergoes hydrolysis and amidation with (benzothiazol-6-yl)amine. The

invention compds. exhibited an Ic50 value of $\leq 1.0~\mu\text{M}$ for at least one of Aurora kinase A, B, C. As Aurora kinase inhibitors, I may be particularly useful for the treatment of cancer.

L5 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:512074 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 146:501086

TITLE: Preparation of benzyl piperazine derivatives as

prostaglandin D2 ligand

INVENTOR(S):
Luker, Timothy

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 61pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.					D	DATE			APPI	ICAT	ION :	NO.		D	ATE	
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	1013				A						2006-	-				0080	
PRIORIT							2003	0120			2005-						-
	T 111 I	T11.4.	T141 O	• •							2006-					0060	
											2006-i					0061	
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OTHER SOURCE(S): MARPAT 146:501086

GI

AΒ Title compds. represented by the formula I [wherein V = CR1R2, CR2R2-CR1R2, SOnCR1R2, etc.; n = 0-2; R1, R2 = independently H, halo, alkenyl, etc.; W = H, halo, CN, etc.; R3 = independently H, halo, amino, etc.; X = a bond or (halo)alkyl; Y = -N(R4) - P - Q - N(R5) - R4, R5 = independently H, (un)substituted alkyl, sulfonylalkyl, etc.; P, Q = independently (un)substituted alkyl; Z = a bond, CO, SO, etc.; HET = (hetero)aryl; R6 = independently H, halo, NO2, etc.; and pharmaceutically acceptable salts thereof] were prepared as prostaglandin D2 ligand. For example, II•Na was provided in a multi-step synthesis starting from 5-chloro-2-hydroxybenzaldehyde. II showed ligand binding activity of prostaglandin D2 with IC50 values of less than $< 10 \mu M$. Thus, I are useful for the treatment of prostaglandin D2 mediated diseases, such as respiratory disorders.

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN L_5 2006:1309593 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 146:62718

TITLE: Preparation of heteroaryl 11-beta-hydroxysteroid

dehydrogenase type I inhibitors

INVENTOR(S): Li, James J.; Hamann, Lawrence G.; Wang, Haixia

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 44pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: רא יייועיייעייע

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US	2006	0281	750		A1		2006:	1214	1	US 2	006-	4489	46		2	0060	607
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AU	2006	2579:	24		A1		2006	1221		AU 2	006-	2579:	24		2	0060	808
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                                          EP 2006-784721
                                                                 20060608
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                                           WO 2006-US22576
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OTHER SOURCE(S):
                       CASREACT 146:62718; MARPAT 146:62718
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AB The title compds. W-L-Z [I; W = (un)substituted (hetero)aryl, cycloalkyl, heterocyclyl; L = a bond, O, S, etc.; Z = substituted imidazopyridinyl, triazolopyridinyl, benzotriazolyl, etc.], useful in treating, preventing, or slowing the progression of diseases requiring $11-\beta$ -hydroxysteroid dehydrogenase type I inhibitor therapy, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 3-methylpicolinonitrile, was given. The in vitro inhibition of recombinant human 11β -HSD1 was determined (no specific data given). Pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents were disclosed.

L5 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:1229166 CAPLUS Full-text DOCUMENT NUMBER: 146:7815

TITLE: Preparation of thioepoxides as inhibitors of matrix

metalloproteinases

INVENTOR(S): Lee, Mijoon; Ikejiri, Masahiro; Chang, Mayland;

Fridman, Rafael; Mobashery, Shahriar

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 175pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE		
WO	2006	 1252	08		A1	_	2006	1123		WO 2	006-	 US19	656		2	0060	519
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
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										WO 2	006-	US19	656	1	W 2	0060	519
OTHER SO	OURCE	(S):			CAS	REAC	CT 14	6 : 78	15 ;	MARP	AT 1	46 : 7	815				

Title compds. e.g. [I; R1 = alkyl, haloalkyl, alkoxy, aralkyl, heteroarylalkyl, aralkoxy, heteroaralkoxy, aryl, heteroaryl, OH, SR5, N(R5)2, null; R2 = CH2, CO, SO2, OH; L = CH2, NR5, OH; W = independently C, N, O, S, null, and form 5-6 membered rings; dotted lines = optional double bonds; R3, R4 = OH, alkyl, alkoxy, alkanoyl, alkanoyloxy, aryl, heteroaryl, CO2H, cyano, NO2, halo, CF3, OCF3, SR5, N(R5)2, CO2R5; n = 0-4; R5 = H, alkyl, alkanoyl, aroyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, protecting group; X = O, S, SO, SO2, CH2O, CH2S, NR5, CO, bond, etc.; D = S, SO, SO2, P(O)OH, C:NOH, CO, etc.; E = bond, alkyl, cycloalkyl, alkenyl, alkynyl, heteroaryl, cycloalkyl is optionally substituted; with provisos], were prepared Thus, title compound

(II) (multistep preparation given) inhibited matrix metalloproteinase-2 with

Ki = 50 nM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:916464 CAPLUS Full-text

DOCUMENT NUMBER: 145:316103

TITLE: Cellulose acylate film, polarizing plate and liquid

crystal display device

INVENTOR(S): Sugiyama, Susumu; Uchida, Osamu; Hashimoto, Yukinori;

Sasata, Katsumi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: PCT Int. Appl., 146pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

E	PATENT NO.					KIN	D	DATE			APPI	LICAT	ION I	.OV		D	ATE	
V	vo	2006	0933	46		A1		2006	0908		WO 2	2006-	JP30	4664		2	0060	303
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	KE,	KG,	KM,	KN,	KP,	KR,	KΖ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,
			NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
			SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,
			YU,	ZA,	ZM,	ZW												
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
	JΡ	2006	2412	93		Α		2006	0914		JP 2	2005-	5842	2		2	0050	303
J	JS	2009	0051	856		A1		2009	0226		US 2	2007-	8174	86		2	0070	830
	CN	1011	3310	8		Α		2008	0227		CN 2	2006-	8000	6896		2	0070	903
PRIORI	ΙΤΥ	APP	LN.	INFO	.:						JP 2	2005-	5842	2		A 2	0050	303
											WO 2	2006-	JP30	4664	1	W 2	0060	303

OTHER SOURCE(S): MARPAT 145:316103

AB A cellulose acylate film comprises a retardation developing agent consisting of a rod-shaped compound, where in-plane retardation, Re, is 50-100 nm, retardation (thickness direction) Rth is 130-250 nm, and thickness 40-90 μm . A liquid crystal display device comprises the above film which reduced the corner irregularity.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:510673 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 145:28015

TITLE: Preparation of phenoxyacetic acids for treatment of

respiratory diseases

INVENTOR(S): Bonnert, Roger Victor; Alcaraz, Lilian; Mohammed,

Rukhsana Tasneem; Cook, Anthony Ronald; Thom, Stephen;

Luker, Timothy Jon

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
	WO	2006	0567.	 52		A1	_	2006	0601		 WO 2	005-	 GB44	 64		2	0051	122
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ТJ,	TM										
	EΡ	1817	282			A1		2007	0815		EP 2	005-	8074	37		2	0051	122
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
	CN	1011	0722	6		Α		2008	0116		CN 2	005-	8004	7078		2	0051	122
		2008															0051	122
	ΙN	2007	DN03.	358		Α		2007	0831		IN 2	007-	DN33	58		2	0070	504
PRIOR	CTI	APP:	LN.	INFO	.:						GB 2							
											GB 2	005-	8923					
											WO 2					W 2	0051	122
OTHER GI	SC	URCE	(S):			CAS	REAC	T 14	5:28	015;	MAR	PAT	145:	2801.	5			

The title substituted phenoxyacetic acids I [wherein W = halo, CN, NO2, (un)substituted OH, alkyl, etc.; X = a bond or (un)substituted alkylene; Y = -N(R4)-P-Q-N(R5)-; Z = a bond, CO, SO, SO2, etc.; P and Q = independently (un)substituted alkylene; HET = (hetero)aryl; R1 and R2 = independently H, halo, (un)substituted alkenyl, alkynyl, or (cyclo)alkyl; or R1 and R2 form an (un)substituted ring; R3 = one or more independently H, halo, CN, NO2, (un)substituted OH, NH2, CONH2, etc.; R4 and R5 = independently H, SO2R7, C(=O)R7, CO2R7, or (un)substituted alkyl; or R4 and R5 are joined together or one of R4 and R5 is joined onto P or Q to form a heterocyclic ring; R6 = one or more independently H, halo, CN, NO2, etc.; R7 = (un)substituted alkyl or (hetero)aryl] or pharmaceutically acceptable salts thereof were prepared as modulators of CRTh2 receptor for the treatment of respiratory disorders, such

as asthma and rhinitis (no data). For example, (4-chloro-2-((3-methyl-1-piperazinyl)methyl)phenoxy) acetic acid tert-Bu ester (preparation given) was reacted with benzenesulfonyl chloride to give II. II showed pharmacol. activity with pIC50 of 8.3 against CRTh2.

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 143:326090

TITLE: Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating metabolic

disorders

INVENTOR(S): Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.;

Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

PATENT ASSIGNEE(S): Amgen Inc., USA; et al. SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN:											ATE		
	2005 2005				A2		2005	0922			005-					0050	224	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
				SN,														
AU	2005	2207	28		A2		2005	0922		AU 2	005-	2207	28		2	0050	224	
AU	2005	2207	28		A1		2005	0922										
CA	2558	585			A1		2005	0922		CA 2	005-	2558	585		2	0050	224	
EP	1737	809			A2		2007	0103		EP 2	005-	7236	23		2	0050	224	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
		HR,		MK,														
	1946				Α		2007	0411		CN 2	005-	8001	2709		2	0050	224	
	2005							0717										
	2007							0906			007-							
	2006							0105										
	2006							1030										
	2007							0621										
KR	2007	0047	69		А			0109										
IN	2006	DN05	525		А		2007	0817		IN 2	006-	DN55	25		2	0060		
	2006				А		2006	1122										
IORIT	Y APP	LN.	INFO	.:							004-							
											004-							
	_										005-						224	
THER SO	HIBCE	151 .			CZC.	$\square \square \square \cap$	т 14	ス・321	えんなん	 M Z 	PPMT	143	• 326	กจก				

OTHER SOURCE(S): CASREACT 143:326090; MARPAT 143:326090

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)aromatic, cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)aromatic, cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepared For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4- ynoic acid (II) is prepared in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (preparation given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 μM for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L5 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:182607 CAPLUS Full-text

DOCUMENT NUMBER: 142:279949

TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and

analogs, as PPAR modulators, especially PPAR agonists Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard

Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.		KI	ND	DATE			APPL	ICAT	ION I	7O.		Di	ATE	
WO 20050191	51	 A	 1	2005	0303		——— WO 2		 JS24:			2	0040	 817
W: AE,	AG, Z	AL, AM	, AT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
CN,	CO, (CR, CU	, CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
GE,	GH, (GM, HR	, HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
LK,	LR,	LS, LI	, LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
NO,	NZ, (OM, PG	, PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
TJ,	TM,	TN, TR	, TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
RW: BW,	GH, (GM, KE	, LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
AZ,	BY, I	KG, KZ	, MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
EE,	ES, I	FI, FR	, GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
SI,	SK,	TR, BF	, BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,

SN, TD, TG CA 2536089 Α1 20050303 CA 2004-2536089 20040817 EP 1660428 Α1 20060531 EP 2004-779442 20040817 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK JP 2007502815 Τ 20070215 JP 2006-523861 20040817 US 20060257987 Α1 20061116 US 2006-566291 20060125 PRIORITY APPLN. INFO.: US 2003-496549P P 20030820 WO 2004-US24381 W 20040817 OTHER SOURCE(S): CASREACT 142:279949; MARPAT 142:279949

$$X \xrightarrow{E} \xrightarrow{D} \xrightarrow{B} [R^3]_n$$

GΙ

Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a AB bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q =CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 µM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:903758 CAPLUS Full-text DOCUMENT NUMBER: 141:379804

TITLE: Indole derivatives and their use as KDR protein kinase

inhibitors

INVENTOR(S): Ugolini, Antonio; Bouchard, Herve

PATENT ASSIGNEE(S): Aventis Pharma SA, Fr. SOURCE: Fr. Demande, 84 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO. FR 2854159									APPL						ATE		
								1029								0030		
FR	2854	159			В1		2008	0111										
WO	2004	0967	92		A2		2004	1111		WO 2	004-	FR97	9		2	0040	422	
WO	2004	0967	92		A3		2005	0915										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	
		TD,	ΤG															
EP	1633	738			A2		2006	0315		EP 2	004-	7425	56		2	0040	422	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
JP	2006	5246	68		Τ		2006	1102		JP 2	006-	5058	07		2	0040	422	
US	2004	0242	559		A1		2004	1202		US 2	004-	8308.	26		2	0040	423	
PRIORIT	Y APP	LN.	INFO	.:						FR 2	003-	5088			A 2	0030	425	
										US 2	003-	4857	85P		P 2	0030	708	
										WO 2	004-	FR97	9	,	W 2	0040	422	
OTHER S	OURCE	(S):			MAR	PAT	141:	3798	04									

GΙ

The invention concerns novel benzimidazole derivs. I [wherein: R1 = (un)substituted pyrazolyl, indazolyl; R2, R3 = independently H, halo, OH, NO2, CN, alkoxy, CO2H and derivs., NH2 and derivs., CONH2 and derivs., S(O)nNH2 and derivs., etc.; n = 0-2], including all isomeric forms and salts. I are useful as medicines, more specifically as protein kinase inhibitors, and in particular as KDR inhibitors (no data). Claimed uses include treatment of a variety of disorders, including those related to uncontrolled angiogenesis, and particularly cancers. For instance, II was prepared in 3 steps via Pd-coupling of N-Boc-3-iodoindazole with (N-Boc-5-cyanoindol-2-yl)boronic acid in DMF

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:584667 CAPLUS Full-text

DOCUMENT NUMBER: 141:140425

TITLE: Preparation of 1,2-phenylenediamine amides as

activated blood coagulation factor X inhibitors INVENTOR(S): Takemura, Makoto; Ota, Toshiharu; Uoto, Koichi;

Kawakami, Katsuhiro; Yoshino, Toshiharu; Yokomizo,

Yoshihiro; Yoshikawa, Kenji

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 308 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004203791	A	20040722	JP 2002-375655	20021225
PRIORITY APPLN. INFO.:			JP 2002-375655	20021225
OTHER SOURCE(S):	MARPAT	141:140425		
GI				

The title thiazolopyridinecarboxylic acid 1,2-phenylenediamine amides with general formula of Q1-Q2-A0-Q3-A00-Q4 [wherein Q1 = (un)substituted cyclohydrocarbyl, heterocyclyl, etc.; Q2 = a single bond, alkylene, alkenylene, etc.; Q3 = (un)substituted phenylene or any other (hetero)arylene; Q4 = (un)substituted aryl, arylalkenyl, etc.; A0 = (un)substituted CONH or CSNH; A00 = OCH2, (un)substituted CONH, SO2NH, etc.] or salts, solvates, or Noxides thereof are prepared as activated blood coagulation factor X

inhibitors. For example, the compound I was prepared in a multi-step synthesis. I inhibited human FXa with IC50 of 1.9 nM. The compds. are useful for the treatment of blood coagulation, thrombosis, embolism, etc. (no data).

L5 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:220036 CAPLUS Full-text

DOCUMENT NUMBER: 140:247606

TITLE: Method to treat cardiac fibrosis with a combination

therapy of an angiotensin II antagonist and an

epoxy-steroidal aldosterone antagonist

INVENTOR(S): Egan, James J.; McMahon, Ellen G.; Olins, Gillian M.;

Schuh, Joseph R.

PATENT ASSIGNEE(S): G.D. Searle & Co., USA

SOURCE: U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S.

Ser. No. 506,068, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20040053903 US 6984633	A1 B2	20040318 20060110	US 2003-371699	_	20030221
PRIORITY APPLN. INFO.:			US 1995-486085	В1	19950607
			US 1997-783404	В1	19970113
			US 1997-980734	В3	19971201
			US 1998-181586	В1	19981028
			US 1999-317237	В1	19990524
			US 2000-506068	В1	20000217

OTHER SOURCE(S): MARPAT 140:247606

AB A therapeutic method is described for treating cardiac fibrosis or cardiac hypertrophy using a combination therapy comprising a therapeutically-effective amount of an epoxy-steroidal aldosterone receptor antagonist and a therapeutically-effective amount of an angiotensin II receptor antagonist. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. Preferred epoxy-steroidal aldosterone receptor antagonists are 20-spiroxane steroidal compds. characterized by the presence of a 9α , 11α -substituted epoxy moiety. A preferred combination therapy includes the angiotensin II receptor antagonist 5-2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl-1H- tetrazole and the aldosterone receptor antagonist epoxymexrenone.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:570960 CAPLUS Full-text

DOCUMENT NUMBER: 139:133472

TITLE: Preparation of pyridones as modulators of nuclear

receptors, including liver X receptor (LXR).

INVENTOR(S): Bayne, Christopher D.; Johnson, Alan T.; Lu, Shao-po;

Mohan, Raju; Griffith, Ronald C. X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 545 pp.

rci inc. Appi., 34

CODEN: PIXXD2

DOCUMENT TYPE: Patent

PATENT ASSIGNEE(S):

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.										
	WO 2003059884				A1 20030			0724	4 WO 2002-US41306										
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
			KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
	CA 2469435					A1 20030724				CA 2002-2469435					20021220				
	AU 2002351412					A1 20030730					AU 2	002-	3514	20021220					
	EP 1465869					A1 20041013					EP 2	002-	7870	20021220					
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
	JP 2005536450					T		2005	1202	JP 2003-559988					20021220				
PRIO	PRIORITY APPLN. INFO.:									US 2001-342707P						P 20011221			
											WO 2	002-	US41	306	1	W 2	0021	220	
OTHER	OTHER COHROLICA					MAD	ייית	120.	1224	7.2									

OTHER SOURCE(S): MARPAT 139:133472

GΙ

Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, AΒ aralkyl, heteroaralkyl, cycloalkyl, cycloalkenyl, cycloalkynyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl, alkylaminocarbonyl, CJOR30; R4 = H, (substituted) alkyl, alkenyl, alkynyl, halo, pseudohalo, CO2H, CJR30, CJNR31R32, CH2NR31R32, CH2OR31, CR30:CR31R32, NO2, NR31R32; R3R4 = atoms to form (substituted) heterocyclyl containing ≤1 oxo; R5 = (substituted) alkyl, heterocyclyl, aryl, aralkyl, heteroaralkyl, N:CR6R7, NR9R10; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, aralkyl, heteroaralkyl; R6R7, R9R10 = (substituted) alkylene, alkenylene, alkynylene, (CH2)xX(CH2)y; x, y = 1-3; X = 0, S, NR8; R8 = (substituted) alkyl, alkenyl, alkynyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl; R9, R10 = H, (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, aralkyl, heteroaralkyl; R30 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, (hetero)aryl, aralkyl, heteroaralkyl; R31, R32 = R30, CJR35; R31R32 = atoms to form (substituted) cycloalkyl, heterocyclyl, heteroaryl; J = O, S, NR40; R35 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, alkoxy, aralkoxy, (di)alkylamino, arylalkylamino, diarylamino; R40 = H, (substituted) alkyl, (hetero)aryl], were prepared Thus, 4,4,4trifluoro-1-phenyl-1,3-butanedione, cyanoacetohydrazide, and diisopropylethylamine were stirred in EtOH at 80° for 3 h to give 1-amino-2-oxo-6-phenyl-4-trifluoromethyl-1,2-dihydropyridine-3- carbonitrile. The latter with cyclohexanone and trifluoroacetic acid were shaken in PhH in a sealed vial at 85° for 2 h to give 1-cyclohexylideneamino-2-oxo-6-phenyl-4-trifluoromethyl-1,2- dihydropyridine-3-carbonitrile. This showed binding affinity for LXR α and LXR β receptors with Ki = 0.69 μ M and 0.45 μ M, resp. REFERENCE COUNT:

L5 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:473266 CAPLUS Full-text

DOCUMENT NUMBER: 139:30862

TITLE: Use of retinoid receptor antagonists or agonists in

the treatment of cartilage and bone pathologies $% \left(1\right) =\left(1\right) \left(1\right$

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S.

Ser. No. 464,344.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

						KIND DATE			APPLICATION NO.											
	US	2003	0114	482										 323			0000	420		
	US	6313	168			В1		2001	1106		US	1999	-464	344		1	9991.	215		
	ΕP	1645	271			A1		2006	0412		ΕP	2005	-244	9		2	0001	213		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, II	, LI	, LU,	NL,	SE,	MC,	PT,		
				FΙ,																
	CA	2407	021			A1		2001	1101		CA	2001	-240	7021		2	0010	419		
	WO	2001	0808	94										2742						
		2001																		
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	в, во	, BR	, BY,	BZ,	CA,	CH,	CN,		
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	, F]	, GB	, GD,	GE,	GH,	GM,	HR,		
			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP	, KF	, KZ	, LC,	LK,	LR,	LS,	LT,		
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX	, MZ	, NO	, NZ,	PL,	PT,	RO,	RU,		
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR	R, TI	, TZ	, UA,	UG,	UZ,	VN,	YU,		
			ZA,	ZW																
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ	, UG	, ZW,	AT,	BE,	CH,	CY,		
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΊ	, LU	, MC	, NL,	PT,	SE,	TR,	BF,		
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML	, MF	, NE	, SN,	TD,	ΤG				
	ΕP	1274	456			A2		2003	0115		ΕP	2001	-928	654		2	0010	419		
	EP	1274	456			В1		2004	1229											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, II	, LI	, LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL	, TF								
	JΡ	2003	5311	80		Τ		2003	1021		JP	2001	-577	990		2	0010	419		
							AT 2001-928654													
										AU 2001-255488										
	HK	1053	053			A1		2005	0610		HK	2003	-105	084		2	0030	714		
	AU	2006	2332	16		A1		2006	1116											
PRIOR	PRIORITY APPLN. INFO.:									US 1999-464344						A2 19991215				
											US	2000	-552	323		A 2	0000	420		
											EP	2000	-986	336		A3 2	0001	213		
											WO	2001	-US1	2742	•	W 2	0010	419		

OTHER SOURCE(S): MARPAT 139:30862

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or

osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

L5 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:356453 CAPLUS Full-text

DOCUMENT NUMBER: 138:368922

TITLE: Bridged bicyclic 1,4-benzodiazepine vasopressin

receptor antagonists

INVENTOR(S): Dyatkin, Alexey B.; Hoekstra, William J.; Maryanoff,

Bruce E.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
WO.	 2003	0379	01		A1	_	2003	0508		WO	2002	 -US32	 2789		2	0021	011			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BE	B, BG	, BR,	BY,	BZ,	CA,	CH,	CN,			
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕC	, EE	, ES,	FΙ,	GB,	GD,	GE,	GH,			
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG	, KP,	KR,	KΖ,	LC,	LK,	LR,			
												, MX,								
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	Sk	, SI	, TJ,	TM,	TN,	TR,	TT,	TZ,			
		UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ΖV	₹		·	·	•	·	•			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	Z, TZ	, UG,	ZM,	ZW,	ΑM,	AZ,	BY,			
												, CY,								
		FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NI	J, PI	, SE,	SK,	TR,	BF,	ВJ,	CF,			
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MF	R, NE	, SN,	TD,	TG						
CA	2465	497			A1		2003	0508		CA	2002	-2465	497		2	0021	011			
AU	2002	3402	00		A1		2003	0512		AU	2002	-3402	200		2	0021	011			
US	2003	0119	822		A1		2003	0626		US	2002	-2696	556		2	0021	011			
US	6936	604			В2		2005	0830												
EP	1442									ΕP	2002	-7785	47		2	0021	011			
EP	1442	040			В1		2007	0523												
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, II	, LI,	LU,	NL,	SE,	MC,	PT,			
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	J, TR	, BG,	CZ,	EE,	SK					
HU	2004	0017	22		A2		2005	0128		HU	2004	-1722	2		2	0021	011			
	2004																			
JP	2005	5079	39		Τ		2005	0324		JΡ	2003	-5401	.82		2	0021	011			
CN	1608	068			Α		2005	0420		СИ	2002	-8261	.68		2	0021	011			
AT	3629	34			_		2007					-7785	_			0021	011			
ES	2287	326			Т3		2007	1216		ES	2002	-7785	47		2	0021	011			
PRIORIT	RIORITY APPLN. INFO.:											-3410								
										WO	2002	-US32	2789		W 2	0021	011			
OTHER S	OHRCE	(S) ·			MARI	PAT	138.	3689	22											

OTHER SOURCE(S): MARPAT 138:368922

GΙ

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Benzodiazepines I [R1 = H, (un)substituted alkyl, alkoxy, alkenyl, alkynyl, aryl, heteroaryl, halogen, OH; R2 = acylamino, arylamino, R6CH:CH, R6CH:CF, R6CF:CH, R6CH:CCl, R6CCl:CH, R6CH2O, R6CH2S (R6 = aryl, heteroaryl); R3 = H, (un)substituted alkyl, alkoxy, NH2, halogen, OH; R4R5 = atoms required to

complete a bicyclic ring system; Y = CH, N; Z = CH2, CO, SO2] were prepared for use as vasopressin receptor antagonists. Thus, the product II was prepared via preparation of the tetracyclic ring system, followed by acylation with 2,4-Cl(O2N)C6H3COCl, reduction, and acylation with 4-PhC6H4COCl. II had IC50 for V1a and V2 receptor binding of 24 and 4 nM, resp. and had diuretic activity in rats.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:129387 CAPLUS Full-text

DOCUMENT NUMBER: 138:164054

TITLE: Methods and compounds for the use of retinoic acid

antagonists and inverse agonists as male

anti-fertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,

Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 405,748,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
				_			
US 6521641	B1	20030218	US 2000-591253		20000609		
US 20030144256	A1	20030731	US 2002-304665		20021125		
US 20070054882	A1	20070308	US 2006-503635		20060814		
PRIORITY APPLN. INFO.:			US 1998-103507P	Ρ	19981008		
			US 1999-405748	В2	19990927		
			US 2000-591253	A1	20000609		
			US 2002-304665	В1	20021125		

OTHER SOURCE(S): MARPAT 138:164054

This continuation—in—part patent claims methods and compds. for the inhibition or prevention of spermatogenesis in a male mammal. The compds. claimed are antagonists or inverse agonists inhibiting the transcriptional activation of retinoic receptors RAR α , RAR β and/or RAR γ . Methods for the use of those compds. as anti-fertility agents to reduce or eliminate spermatozoa in the semen of male mammals to prevent conception are claimed.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:868719 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 137:346211

TITLE: Methods of treating hyperlipidemia by using retinoids

as antagonists or inverse agonist of a retinoid

receptor

INVENTOR(S): Yuan, Yang-Dar; Thacher, Scott M.; Klein, Elliot S.;

Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE APPLICATION NO. DATE
                       ____
                                          _____
    WO 2002089781
                       A2
                             20021114
                                         WO 2002-US13253
                                                                20020426
    WO 2002089781
                        A3
                              20030327
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
            GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
            GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 20020193403
                      A1
                              20021219
                                         US 2001-848159
    CA 2445504
                        A1
                              20021114 CA 2002-2445504
                                                                20020426
                        A1 20021118 AU 2002-259030
A2 20040303 EP 2002-729013
B1 20080827
    AU 2002259030
                       A1
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    EP 1392284
                        A2
                                                                 20020426
    EP 1392284
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004532239
                        Τ
                             20041021 JP 2002-586918
    EP 1920771
                                         EP 2007-22682
                        Α2
                               20080514
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    EP 1920771
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                        А3
        R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,
            NL, PT, SE, TR
                        Τ
    AT 406159
                              20080915
                                         AT 2002-729013
                                                                 20020426
                                                                 20041217
    US 20050171151
                       A1 20050804
                                         US 2004-16534
    US 20080214652
                       A1 20080904
                                         US 2008-72629
                                                                 20080227
                                                            A 20010503
PRIORITY APPLN. INFO.:
                                          US 2001-848159
                                          EP 2002-729013 A3 20020426

WO 2002-US13253 W 20020426

US 2004-16534 B1 20041217
                        MARPAT 137:346211
OTHER SOURCE(S):
AΒ
     The current invention relates to methods for treating hyperlipidemia in
     mammals, including humans. More specifically, the current invention relates
     to the use of retinoid or retinoid derivative that is able to act as an
     antagonist or inverse agonist of a retinoid receptor to treat hyperlipidemia.
REFERENCE COUNT:
                        3
                              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 28 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
                       2001:798081 CAPLUS Full-text
```

L5ACCESSION NUMBER:

DOCUMENT NUMBER: 135:339297

TITLE: Use of retinoid receptor antagonists or agonists in

the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080894	A2	20011101	WO 2001-US12742	20010419
WO 2001080894	A3	20020725		

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                               20030619 US 2000-552823
     US 20030114482
                         A1
                                                                    20000420
                                20011101 CA 2001-2407021
     CA 2407021
                         A1
                                                                    20010419
                                20030115 EP 2001-928654
    EP 1274456
                         A2
                                                                    20010419
                             20041229
     EP 1274456
                         В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                      T
     JP 2003531180
                               20031021
                                           JP 2001-577990
     AT 285794
                         Τ
                               20050115
                                           AT 2001-928654
                                                                    20010419
                       B2 20060727 AU 2001-928654
A1 20050610 HK 2003-105084
A1 20061116 AU 2006-233216
     AU 2001255488
                                                                   20010419
                                          HK 2003-105084
     HK 1053053
                                                                   20030714
                                            HK 2003-105084 20030714

AU 2006-233216 20061027

US 2000-552823 A 20000420

US 1999-464344 A2 19991215

WO 2001-US12742 W 20010419
     AU 2006233216
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                         MARPAT 135:339297
AΒ
     The present invention relates to methods for treating cartilage and bone
     pathologies, including bone growth related diseases such as osteoarthritis or
     osteoporosis, comprising administering therapeutically effective amts. of
     retinoid receptor antagonists or retinoid receptor agonists.
                               THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                         8
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 29 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:452848 CAPLUS Full-text
DOCUMENT NUMBER:
                         135:41045
TITLE:
                        Use of retinoid receptor antagonists in the treatment
                        of cartilage and bone pathologies
                        Pacifici, Maurizio; Chandraratna, Roshantha A.
INVENTOR(S):
                       Allergan Sales, Inc., USA
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 53 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
                       KIND DATE
                                           APPLICATION NO.
     PATENT NO.
                                                                  DATE
                                            _____
                        ____
                                _____
                                                                   _____
                             20010621
                        A2
     WO 2001043732
                                           WO 2000-US33697
                                                                   20001213
     WO 2001043732
                         A3 20020321
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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US 6313168 B1 20011106 US 1999-464344 19991215 CA 2394210 A1 20010621 CA 2000-2394210 20001213

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EP 1248602
                        A2
                              20021016 EP 2000-986336
                                                                 20001213
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2003519103 T 20030617 JP 2001-544671
                                                                 20001213
                             20060216 AU 2001-22593
20060412 EP 2005-24409
    AU 784189
                        В2
                                                                 20001213
                        A1
    EP 1645271
                                                                 20001213
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI, CY, TR
PRIORITY APPLN. INFO.:
                                          US 1999-464344
                                                            A 19991215
                                          EP 2000-986336
                                                            A3 20001213
                                          WO 2000-US33697
                                                            W 20001213
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OTHER SOURCE(S): MARPAT 135:41045

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis, comprising administering therapeutically effective amts. of retinoid receptor antagonists. AG1-X2 ion exchange beads were soaked for 1 h in a solution of 4-[[5,6-dihydro-5,5-dimethyl-8-(4-methylphenyl)-2- naphthalenyl]ethynyl]-benzoic acid (AGN 109) and implanted in the vicinity of the prospective humeral mesenchymal condensation in stage 21-22 chick embryos and determined whether humerus development had been impaired by day 10 in vivo. AGN 109-containing beads showed striking effects on humerus development.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:396864 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 135:19632

TITLE: Preparation of pyrazolyl- and pyrrolylalkanoic acid

derivatives with hypoglycemic and hypolipidemic

activity

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Odaka, Hiroyuki;

Kimura, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 375 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PAT	FENT		KIND DATE			APPLICATION NO.						DATE					
WO	2001	0383	25		A1		2001	0531		WO 2	000-	JP78	77		2	0001	109
	W:	ΑE,	AG,	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CN,	CR,	CU,
		CZ,	DM,	DZ,	EE,	GD,	GE,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KG,	KR,	KΖ,
		LC,	LK,	LR,	LT,	LV,	MA,	MD,	MG,	MK,	MN,	MX,	MZ,	NO,	NΖ,	PL,	RO,
		RU,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	US,	UZ,	VN,	YU,	ZA		
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
CA	CA 2390923				A1		2001	0531		CA 2	000-	2390	923		2	0001	109
JΡ	2001	2263	50		Α		2001	0821	JP 2000-347462						2	0001	109
JΡ	3723	071			В2		2005	1207									
BR	2000	0154	66		Α		2002	0806		BR 2	000-	1546	6		2	0001	109
EΡ	1228	067			A1		2002	0807		EP 2	000-	9748.	57		2	0001	109
EΡ	1228	067			В1		2004	0714									
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
HU	2002	0031	65		A2		2003	0128	8 HU 2002-3165 20001109					109			
HU	U 2002003165 A3 2004032					0329)										

JP	20031378	365		А		2003	0514	J	P	2002-	3150	96			20001	109
NZ	519238			A		2003	1128	N	ΙZ	2000-	5192	38			20001	109
AT	271049			T		2004	0715	А	T	2000-	9748	57			20001	109
EP	1457490			A1		2004	0915	E	ΞP	2004-	7650	8			20001	109
	R: AT,	BE,	CH,	DE, I	OK,	ES,	FR,	GB,	GR	R, IT,	LI,	LU,	NL,	SE	, MC,	PT,
	IE,	SI,	LT,	LV, E	ΓI,	RO,	MK,	CY,	ΑL	, TR						
PT	1228067			T		2004	1130	Р	РΤ	2000-	9748	57			20001	109
ES	2225252			Т3		2005	0316	E	S	2000-	9748	57			20001	109
AU	780948			B2		2005	0428	A	ΔU	2001-	1303	1			20001	109
RU	2252939			C2		2005	0527	R	RU	2002-	1152	63			20001	109
CN	1260227			С		2006	0621	С	N	2000-	8174	67			20001	109
NO	20020023	80_		A		2002	0708	N	IO	2002-	2108				20020	502
MX	20020046	547		A		2002	1031	M	ΙX	2002-	4647				20020	509
US	7179823			B1		2007	0220	U	JS	2002-	1297	02			20020	509
IN	2002KN00	645		A		2005	0311	I	Ν	2002-	KN64	5			20020	513
ZA	20020038	324		A		2003	1015	Z	Ά	2002-	3824				20020	514
HK	1045991			A1		2004	1210	Н	ΙK	2002-	1062	97			20020	827
PRIORITY	APPLN.	INFO	.:					J	Р	1999-	3203	17	Z	Ā	19991	110
								J	Ρ	1999-	3522.	37	Z	7	19991	210
								J	Р	1999-	3522.	36	Z	7	19991	210
								Ε	ΞP	2000-	9748	57	Z	43	20001	109
								J	Р	2000-	3474	62	Z	<i>1</i> 3	20001	109
								W	Ю	2000-	JP78	77	V	V	20001	109
OTHER SC	OURCE(S):	;		MARPA	T	135:	19632	2								

GΙ

$$R1_X = (CH_2)_M = Y = A = (CH_2)_N = B = W = CO = R3$$

I

 $CH_2 = O = CH_2 = N$

II

Title compds. (I) [wherein R1 = (un) substituted hydrocarbon or heterocycle; XAΒ = bond, O, S, CO, CS, CR4(OR5), or NR6; R4 and R6 = independently H or (un) substituted hydrocarbon; R5 = H or hydroxyl protective group; m = 0-3; Y =O, S, SO, SO2, NR7, CONR7, or NR7CO; R7 = H or (un)substituted hydrocarbon; A = (un)substituted aromatic ring; n = 1-8; B = (un)substituted N-containing 5membered heterocycle; X1 = bond, O, S, SO, SO2, OSO2, or NR16; R16 = H or (un) substituted hydrocarbon; R2 = H or (un) substituted hydrocarbon or heterocycle; W = bond or hydrocarbon; R3 = OR8 or NR9R10; R8 = H or (un) substituted hydrocarbon; R9 and R10 = independently H or (un) substituted hydrocarbon or heterocycle; or R9 and R10 together with the N to which they are attached may form a ring] were prepared as retinoid-related receptor function regulating agents or insulin resistance improving agents. For example, Et 3-[1-(4-hydroxybenzyl)-4-phenyl-3-pyrrolyl]propionate and 4chloromethyl-5-methyl-2-(2-thienyl)oxazole were coupled in the presence of K2CO3 in DMF and treated with HCl to give II (77%). At a concentration of

0.001%, II reduced hypoglycemic and hypolipidemic action by 48% and 70%, resp., lowered total cholesterol by 16%, and increased the plasma antiarteriosclerosis index by 12% compared to non-treatment groups of mice. In addition, II showed potent PPAR γ -RXR α heterodimer ligand activity with EC50 of 1.5 nM. I are useful for the prevention or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, and arteriosclerosis.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:247339 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 134:261280

TITLE: Azepinoindolone derivatives as poly(ADP-ribose)

polymerase inhibitors

INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoeger, Thomas;

Grandel, Roland; Mueller, Reinhold; Schult, Sabine

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE		APPLICATION NO.					DATE				
	2001 2001									WO 2	000-	EP90	24		2	0000	915
	W:	CR,	CU,	CZ,	DE,	DK,	AU, DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		LU,	LV,	MA,	MD,	MG,	JP, MK, SL,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
	RW:	YU,	ZA,	ZW	·	·	MZ,		·		·	•	·		•		•
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,			
DE	1994	6289			A1		2001	0329		DE 1	999-	1994	6289		1	9990	928
DE	1003	9610			A1			0228		DE 2	000-	1003	9610		2	0000	809
CA	2352	194			A1		2001	0405		CA 2	000-	2352	194		2	0000	915
	2000																
EP	1183	259			A2		2002	0306		EP 2	000-	9743	79		2	0000	915
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FΙ,	RO										
	2001						2002			HU 2	001-	4917			2	0000	915
	2001						2002	-									
	2003						2003				001-					0000	
	2001						2002				001-					0010	-
	2001										001-					0010	-
	2001		/26								001-					0010	
BG IORIT:	1056		TNIDO		А		2002	UZZ8			001-					0010	
TOKIL	L APP	• ИП	TNEO	.:							999- 000-					9990 0000	
											000-					0000	

OTHER SOURCE(S): MARPAT 134:261280

AB Enantiomeric and diastereomeric forms and prodrugs of azepinoindolone derivs. such as 2-(4-(4-n-propylpiperazin-1-yl)phenyl)-1,3,4,5-tetrahydro-6H-azepino[5,4,3-c,d]indol-6-one are useful as poly(ADP-ribose) polymerase

inhibitors. The effectiveness of the title compds. in inhibiting poly(ADP-ribose) polymerase was demonstrated.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:78220 CAPLUS Full-text

DOCUMENT NUMBER: 134:125939

TITLE: The use of retinoid receptor antagonists in the

treatment of prostate carcinoma

INVENTOR(S): Chandraratna, Roshantha A.; Brown, Geoffrey

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA]	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
	WO	2001	0070	28		A2	_	2001	0201	,	==== WO 2	000-	 US19	849		2	0000	 721
	WO	2001	0070	28		А3		2001	0830									
		W:	ΑE,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN, IS, JP,				ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
			MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
			SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	ΑM,	ΑZ,
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
PRIO	RIORITY APPLN. INFO.:									US 1999-145287P						P 19990723		

OTHER SOURCE(S): MARPAT 134:125939

AB Methods for treating prostate cancer comprise administering a therapeutically effective amount of a retinoid receptor antagonist. In addition, the invention provides methods of inhibiting the growth of a prostate carcinoma cell or tumor, the method comprising contacting the cell or tumor with an effective amount of a retinoid receptor antagonist.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:240931 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 132:274821

TITLE: Male antifertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,

Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

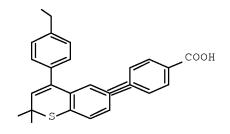
FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000019990	A2	20000413	WO 1999-US22222	19990924
WO 2000019990	A3	20000720		

W: AU, CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE CA 2346687 Α1 20000413 CA 1999-2346687 19990924 AU 9961623 20000426 AU 1999-61623 19990924 Α AU 757448 В2 20030220 EP 1119350 A2 20010801 EP 1999-948451 19990924 EP 1119350 20050223 В1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 2002526405 Τ 20020820 JP 2000-573351 19990924 AT 289507 AT 1999-948451 Τ 20050315 19990924 PRIORITY APPLN. INFO.: US 1998-103507P P 19981008 WO 1999-US22222 W 19990924

OTHER SOURCE(S): MARPAT 132:274821

GΙ



AB Methods and compns. for inhibiting or preventing spermatogenesis in a male mammal are disclosed. AGN 194310 (I) was prepared and orally administered to rats and was not toxic and expts. showed that daily oral delivery of this RAR antagonist was sufficient to cause spermatogenic arrest.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:426849 CAPLUS <u>Full-text</u>

Ι

DOCUMENT NUMBER: 131:73436

TITLE: Preparation of 4-[(3-phenoxyphenyl)ethynyl]benzoates

and analogs as retinoic acid receptor ligands

INVENTOR(S): Song, Tae K.; Teng, Min; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: U.S., 30 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919970	A	19990706	US 1997-840040	19970424
US 6187950	B1	20010213	US 1999-267992	19990312

US 6455701	B1	20020924	US	2000-708972		20001108
US 20030109687	A1	20030612	US	2002-212386		20020805
US 6660755	B2	20031209				
PRIORITY APPLN. INFO.:			US	1997-840040	А3	19970424
			US	1999-267992	А3	19990312
			US	2000-708972	А3	20001108

OTHER SOURCE(S): MARPAT 131:73436

AΒ Y3XY1ZY2AB [I; A = bond, alkenylene, alkynylene, etc.; B = H, CO2H, alkoxycarbonyl, CH2OH, etc.; X = CH2,O,NH, SOO-2, etc.; Z = C.tplbond.C, N:N, N:CH, CONH, etc.; Y1 = (addnl. substituted) phenylene, heteroarylene, etc. having alkyl, 1-adamantyl, alkoxy, etc. as substituent; Y2 = (un)substituted (hetero)arylene; Y3 = (un)substituted (hetero)aryl] were prepared Thus, 3-BrC6H4OH was alkylated by Me3CHOH and the product etherified by 4-IC6H4CF3 to give, in 2 addnl. steps, 4-(F3C)C6H4OY1C.tplbond.CR (Y1 = 2-tert-butyl-1,5phenylene)(II; R = H) which was arylated by 4-IC6H4(CO2Et)-4 (preparation given) to give II [R = C6H4(CO2Et)-4]. Data for biol. activity of I were given.

THERE ARE 169 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT: 169 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 35 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN L5ACCESSION NUMBER: 1997:361630 CAPLUS Full-text

DOCUMENT NUMBER: 126:330623

ORIGINAL REFERENCE NO.: 126:64259a,64262a

TITLE: Preparation of 4-anilinopyrido[3,4-d]pyrimidines and

analogs as protein tyrosine kinase inhibitors

Cockerill, George Stuart; Guntrip, Stephen Barry; INVENTOR(S):

Mckeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane; Vile, Sadie; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold; et al.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart;

Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page,

Martin John; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: DAMENIE NO

PA	PATENT NO.					KIND DATE				APPLICATION NO.					DATE			
WO	9713	 771			A1	_	 1997	0417	,	WO 1	 996-:	EP43	 99		1	9961	010	
	W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	ΤJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN	
	RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG						
AU	9672	896			Α		1997	0430		AU 1	996-	7289	6		1	9961	010	
ZA	9608	551			Α		1997	0718		ZA 1	996-	8551			1	9961	010	
EP	8612	53			A1		1998	0902		EP 1	996-	9346	12		1	9961	010	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	FΙ															
JP	1151	3398			Τ		1999	1116	1	JP 1	996-	5147	11		1	9961	010	
IN	1996	DE02	215		Α		2005	0311		IN 1	996-	DE22	15		1	9961	010	
US	6169	091			В1		2001	0102		US 1	998-	5132	4		1	9980	826	
PRIORIT	PRIORITY APPLN. INFO.:							1	GB 1	995-	2084	5	Ž	A 1	9951	011		
									1	GB 1	996-	1475	7	Ž	A 1	9960	713	

OTHER SOURCE(S): MARPAT 126:330623

GΙ

AB Title compds. [I; R = YZ1ZR4; R2 = H, halo, CF3, alkyl, alkoxy; R4 = cycloalkyl, Ph, thienyl, pyridyl, etc.; R6R7 = atoms to complete a (heteroaryl-substituted) heterocyclic ring; X = N or CH; Y = O, OCH2, SOO-2, (alkyl)imino, etc.; Z = O, CH2, NRb, OCH2, etc.; Rb = H or alkyl; NRbR4 = heterocyclyl; Z1 = (un)substituted phenylene] were prepared Thus, 4,6-dichloropyrido[3,4-d]pyrimidine was aminated by 4-(PhCH2O)C6H4NH2 and th product condensed with 5-tributylstannyl-N-methylimidazole to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:205247 CAPLUS Full-text

DOCUMENT NUMBER: 126:205763

ORIGINAL REFERENCE NO.: 126:39656h,39657a,39658a

TITLE: Preparation of organosilicon compounds, and

liquid-crystal composition and liquid-crystal display

element

INVENTOR(S): Kondo, Tomoyuki; Matsui, Shuichi; Hachiya, Norihisa;

Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PA:	PATENT NO.			KIND DATE		APPLICATION NO.				DATE							
WO	9705	144			A1	_	1997	0213	_ ⊽	vo 1	 996-	 JP2103		1	9960	 726	
	W:	CN,	JP,	KR,	US												
	RW:	AT,	BE,	CH,	DE,	DK	, ES,	FΙ,	FR,	GB,	GR,	IE, IT,	LU,	MC,	NL,	PT,	SE
CN	1195	352			Α		1998	1007		CN 1	996-	196782		1	9960	726	
EP	8724	84			A1		1998	1021	E	EP 1	996-	925097		1	9960	726	
EP	8724	84			В1		2002	1002									
	R:	ΑT,	BE,	CH,	DE,	DK	, ES,	FR,	GB,	IT,	LI,	NL					
AT	2253	53			T		2002	1015	I	AT 1	996-	925097		1	9960	726	
JP	3751	640			В2		2006	0301	·	JP 1	997-	507462		1	9960	726	
US	5993	690			A		1999	1130	J	JS 1	998-	409		1	9980	126	
PRIORIT:	Y APP	LN.	INFO	.:					·	JP 1	995-	211211	Ž	A 1	9950	727	
									V	VO 1	996-	JP2103	Ţ	√ 1	9960	726	

OTHER SOURCE(S): MARPAT 126:205763

Organosilicon compds. represented by the general formula Ra-A-(Z1-A1)m-(Z2-A2)n-(Z3-A3)o-Rb [I; at least one of Ra, Rb, Z1, Z2 and Z3 has an SiH2 group; Ra = H or C1-2 alkyl wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH, C.tplbond.C, or 1,4-cyclobutylene; Rb = a group of any of the Ra groups, halo or cyano; A, A1, A2 and A3 represent each a bivalent ring group; Z1, Z2 and Z3 represent each independently a covalent bond or (CH2)p wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH or C.tplbond.C; p represents an integer of 1 to 4; m, n and o represent each independently 0 or 1], which are excellent in the compatibility with other liquid-crystal materials, reduced in viscosity, and improved in threshold voltage, are prepared A liquid crystal composition containing at least one silicon compound I and a liquid crystal display device using said liquid crystal composition are claimed. Thus, 10.0 g 4-bromo-4'-butoxybiphenylwas treated dropwise with BuLi in Et20 at -50° , stirred at -50° for 30 min, warmed to room temperature, stirred for 3 h, and resulting reaction mixture was added dropwise to a solution of 11.6 g propyltrichlorosilane in 10 mL THF at -50° , and stirred at -50° for 30 min and at room temperature for 48 h to give 4.6 g 4-propyldichlorosilyl-4'-butoxybiphenyl. The latter compound (3.0 g) was dissolved in Et2O and reduced by LiAlH4 at room temperature for 10 h to give 7.8% 4-propylsilyl-4'-butoxybiphenyl.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:724140 CAPLUS Full-text

DOCUMENT NUMBER: 125:343103

ORIGINAL REFERENCE NO.: 125:63853a,63856a

TITLE: Optically active liquid crystal compound containing

deuterium atoms for display device

INVENTOR(S): Koizumi, Yasuyuki; Demus, Dietrich; Matsui, Shuichi;

Miyazawa, Kazutoshi; Sekiguchi, Yasuko; Nakagawa,

Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan

SOURCE: Eur. Pat. Appl., 88 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DZ	DATE		
EP 735015	A2	19961002	EP 1996-300655	19	9960130		
EP 735015	A3	19970611					
R: CH, DE, FR,	GB, IT	, LI					
JP 08325174	A	19961210	JP 1995-347773	19	9951214		
PRIORITY APPLN. INFO.:			JP 1995-100105	A 19	9950331		
OTHER SOURCE(S):	MARPAT	125:343103					
GI							

 R^1 A Z^1 B Z^2 C Z^3 D R^2

AΒ The title compound is represented by the formula I (R1, R2 = H, cyano, halogen, or alkyl or halogenated alkyl with 1-20 C atoms with the proviso that ≥1 methylene group in the alkyl group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; Z1-3 = a covalent bond or an alkylene group with 1-4 C atoms with the proviso that ≥1 methylene group in the alkylene group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; m, n = 0 or 1; rings A, B, C, D = a benzene, bicyclo[1.1.1]pentane, bicyclo[2.1.1]hexane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, naphthalene, 1,2,3,4-tetrahydronaphthalene, perhydronaphthalene, fluorene, phenanthrene, 9,10-dihydrophenanthrene, indane, indene, cycloalkane, or cycloalkene ring which may be substituted by O, S, or N atoms) with optically active C atoms bonded to D atoms. With the use of the title compound, it is possible to prepare a liquid crystal composition with controlled pitch and spiral direction without the use of a chiral dopant.

L5 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:609921 CAPLUS Full-text

DOCUMENT NUMBER: 125:261498

ORIGINAL REFERENCE NO.: 125:48571a,48574a

TITLE: Electro-optic liquid crystal display with

reorientation layer

INVENTOR(S): Pausch, Axel; Poetsch, Eike; Tarumi, Kazuaki; Huth,

Anja; Waechtler, Andreas; Beyer, Andreas; Schuler, Brigitte; Reiffenrath, Volker; Bremer, Matthias;

Kompter, Michael

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT NO.			APPLICATION NO.	DATE		
	A1	19960808	WO 1996-EP239	19960122		
			B, GR, IE, IT, LU, MC,	NL. PT. SE		
			DE 1995-19528106			
			DE 1995-19528107			
			DE 1995-19528104			
		20080515				
	A1		DE 1995-19537802	19951011		
EP 807153	A1					
	В1	20010328				
R: DE, GB, NL						
CN 1172496	А	19980204	CN 1996-191743	19960122		
CN 1125158	С	20031022				
JP 10512914	T	19981208	JP 1996-523208	19960122		
EP 995787	A2	20000426	EP 1999-124394	19960122		
R: DE, GB, NL						
EP 768359	A1	19970416	EP 1996-116026	19961007		
EP 768359	B1	20010502				
R: DE, GB						
US 6342279	B1	20020129	US 1996-728370	19961010		
JP 09125063		19970513	JP 1996-287312	19961011		
US 5993691	A	19991130	US 1997-875745	19970804		
US 6146720	A	20001114	US 1999-412566	19991005		

A	20061019	JP	2006-129630		20060508
A	20061102	JP	2006-129625		20060508
		DE	1995-19503507	A	19950203
		DE	1995-19509791	A	19950317
		DE	1995-19528104	A	19950801
		DE	1995-19528106	A	19950801
		DE	1995-19528107	A	19950801
		DE	1995-19537802	A	19951011
		EP	1996-901748	А3	19960122
		JP	1996-523208	А3	19960122
		WO	1996-EP239	W	19960122
			A 20061102 JP DE		A 20061102 JP 2006-129625 DE 1995-19503507 A DE 1995-19509791 A DE 1995-19528104 A DE 1995-19528106 A DE 1995-19528107 A DE 1995-19537802 A EP 1996-901748 A3 JP 1996-523208 A3

OTHER SOURCE(S): MARPAT 125:261498

GΙ

AB An electro-optic liquid crystal display has reorientation layer for reorienting the liquid crystals whose field has a significant component parallel to the liquid crystal layer. The reorientation layer contains a liquid-crystal medium with pos. dielec. anisotropy that contains at least one mesogenic compound with a 3,4,5-trifluorophenyl group and/or at least one mesogenic compound with a structural element having the formula I (A = 0, CH; B = connection site; Z = -COO-, single bond; L1 = F, H when A is O; L2 = H, F). The liquid crystal composition is also claimed with Markush structures.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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